

PATENT

Docket No. US DEAV2002/0058 US NP

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of
Stroebe et al.

Examiner: Not Yet Assigned

Art Unit: Not Yet Assigned

Application No.: **10/636,001**

Filed: **August 7, 2003**

Title: **Acylated Arylcycloalkylamines and Their Use as
Pharmaceuticals**

I hereby certify that this correspondence is being
deposited with the United States Postal Service as First
Class Mail in an envelope addressed to Commissioner
for Patents, P.O. Box 1450, Alexandria, VA 22313-
1450, on

September 30, 2003

Date of Deposit

Signature

INFORMATION DISCLOSURE STATEMENT UNDER 37 C.F.R. 1.56, 1.97 AND 1.98

Mail Stop Patent Application
Commissioner of Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

Applicants submit herewith patents, publications, and other information of which they are aware, which they believe may be material, as defined in 37 C.F.R. 1.56(b), to the examination of this application and in respect of which there may be a duty to disclose in accordance with 37 C.F.R. 1.56(a). While the information referred to in this Information Disclosure Statement may be material pursuant to 37 C.F.R. 1.56(b), the filing of this Information Disclosure Statement is not intended to, pursuant to 37 C.F.R. 1.97(h), constitute an admission that any patent, publication or other information referred to is, or is considered to be, material to the patentability of this invention. Pursuant to 37 C.F.R. 1.97(g), the filing of this Information Disclosure Statement shall not be construed to mean that a search has been made or that no other material information exists.

- ☒ (a) This Information Disclosure Statement is filed within the period set forth in §1.97(b) because it accompanies the new patent application submitted herewith, is filed within three months of the filing date of a national application or within three months of the date of entry of the national stage as set forth in §1.491 in an international application, or is believed to be filed before the mailing date of a first Office Action on the merits, whichever event occurs last. However, in the event that the first office action has been mailed, the Commissioner is authorized to charge any fees under 37 C.F.R. 1.17(p) or credit any overpayment to Account No. **18-1982**.

- ☐ (b) This Information Disclosure Statement is filed after the period set forth in 37 C.F.R. 1.97(b), but is believed to be filed before the mailing date of a final action under §1.113 or a notice of allowance under §1.311, whichever occurs first.
- ☐ (1) The undersigned attorney certifies that each item of information contained in this Information Disclosure Statement was cited in a communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of this statement;
- ☐ (2) The undersigned attorney certifies that no item of information contained in this Information Disclosure Statement was cited in a communication from a foreign patent office in a counterpart foreign application or, to the knowledge of the undersigned attorney after making reasonable inquiry, was known to any individual designated in §1.56(c) more than three months prior to the filing of this statement; or
- ☐ (3) This Information Disclosure Statement is accompanied by a transmittal letter in which payment of the fee set forth in §1.17(p) and required by 37 C.F.R. 1.97(c) is authorized.

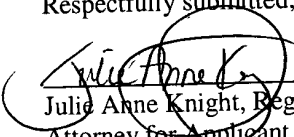
A concise explanation of the relevance of WIEHL, et al. as listed on the attached PTO-1449 (modified) is as follows:

Asymmetric Reductive Amination of Cycloalkanones, 5¹ Synthesis and Absolute Configuration of 2-Substituted Cyclopentanamines

In an asymmetric synthesis 2-substituted cyclopentanamines are obtained from racemic cyclopentanones by means of reductive amination in a three-step procedure. Condensation of the ketones **3(n)** with optically active 1-phenylethylamines yields imine mixtures **4(n)**, which are hydrogenated with Raney nickel to give the optically active, diastereomerically pure secondary amines **5(n)**. Hydrogenolysis with Palladium-on-charcoal leads to high grade enantiomerically pure primary amines **6(n)** with good yields. The relative configuration of the amines **5(n)** and **6(n)** is elucidated by ¹H and ¹³C NMR techniques. The absolute configuration is determined by X-ray analysis of the 4-bromobenzamide **8** of the primary amine (+)-**6(n)** and with the help of CD of the salicylidenes **9(n)**. The kinetically controlled asymmetric hydrogenation with a first order transformation as proved reaction mechanism in the cyclohexanamine line is confirmed for this investigation. The hydrogenation runs like-induced to the cis-configured amines **5(n)** and **6(n)**, respectively.

Respectfully submitted,

Date: September 30, 2003

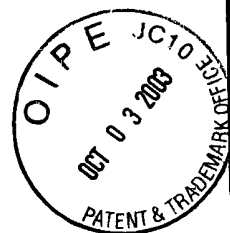

Julie Anne Knight, Reg. No. 48,867
Attorney for Applicant

Aventis Pharmaceuticals Inc.
Patent Department
Route #202-206 / P.O. Box 6800
Bridgewater, NJ 08807-0800
Telephone (908) 231-3679
Telefax (908) 231-2626

☒

+

~~Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.~~



INFORMATION DISCLOSURE STATEMENT BY APPLICANT

Sheet	1	of	2
-------	---	----	---

Application Number	10/636,001
Filing Date	August 7, 2003
First Named Inventor	STROBEL, et al.
Group Art Unit	
Examiner Name	
Attorney Docket Number	DEAV2002/0058 - US - NP

[illegible][illegible]

Date	
Considered	

¹ Unique citation designation number. ² See attached Kinds of U.S. Patent Documents. ³ Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). ⁴ For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵ Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. ⁶ Applicant is to place a check mark here if English language Translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. **DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.**



Please type a plus sign (+) inside this box → ☒

PTO/SB/08B (10-96)
Approved for use through 10/31/99. OMB 0651-0031
Patent and Trademark Office: U.S. DEPARTMENT OF COMMERCE

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449B/PTO

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

(use as many sheets as necessary)

Sheet 2 of 2

Complete if Known

Application Number	10/636,001
Filing Date	August 7, 2003
First Named Inventor	STROBEL, et al.
Group Art Unit	
Examiner Name	
Attorney Docket Number	DEAV2002/0058 - US - NP

OTHER PRIOR ART -- NON PATENT LITERATURE DOCUMENTS

Examiner Initials*	Cite No. ¹	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T ²
		AGGARWAL, et al., Catalytic Cyclopropanation Of Alkenes Using Diazo Compounds Generated In Situ. A Novel Route To 2-Arylcyclopropylamines, Org. Letters, 2001, 3 (17) 2785-2788	
		BROWN, et al., Organoboranes For Synthesis 7. An Improved General Synthesis of Primary Amines From Alkenes via Hydroboration-Organoborane Chemistry, Tetrahedron, 1987, 43 (18), 4071-4078	
		COUTTS, et al., Neurochemical and Neuropharmacological Properties of 4-Fluorotranlylcypromine, Cell. Mol. Neurobiol., 1987, 7 (3), 271-291	
		ENDRES, et al., Stroke Protection By 3-hydroxy-3-methylglutaryl (HMG)-CoA Reductase Inhibitors Mediated By Endothelial Nitric Oxide Synthase, Proc. Natl. Acad. Sci. USA, 1998, 95, 8880-8885	
		LI, et al., Activation Of Protein Kinase Ca and or e Enhances Transcription of the Human Endothelial Nitric Oxide Synthase Gene, Mol. Pharmacol., 1998, 53, 630-637	
		MOROI, et al., Interaction Of Genetic Deficiency Of Endothelial Nitric Oxide, Gender, and Pregnancy In Vascular Response To Injury In Mice, J. Clin. Invest., 1998, 101 (6), 1225-1232	
		NAKAYAMA, et al., T-786- C Mutation in the 5' -Flanking Region Of The Endothelial Nitric Oxide Synthase Gene Is Associated With Coronary Spasm, Circulation, 1999, 99, 2864-2870	
		SESSA, et al., Chronic Exercise In Dogs Increases Coronary Vascular Nitric Oxide Production And Endothelial Cell Nitric Oxide Synthase Gene Expression, Circ. Research, 1994, 74, 349-353	
		VARENNE, et al., Percutaneous Gene Therapy Using Recombinant Adenoviruses Encoding Human Herpes Simplex Virus Thymidine Kinase, Human PAI-1, and Human NOS3 in Balloon-Injured Porcine Coronary Arteries, Hum. Gene Ther. 2000, 11, 1329-1339	
		WIEHL, et al., Synthese Und Absolute Konfiguration 2-Substituierter Cyclopentanamine, Chem. Ber. 1986, 119, 2668-2677	

Examiner
Signature

Date
Considered

*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

¹ Unique citation designation number. ² Applicant is to place a check mark here if English language Translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.